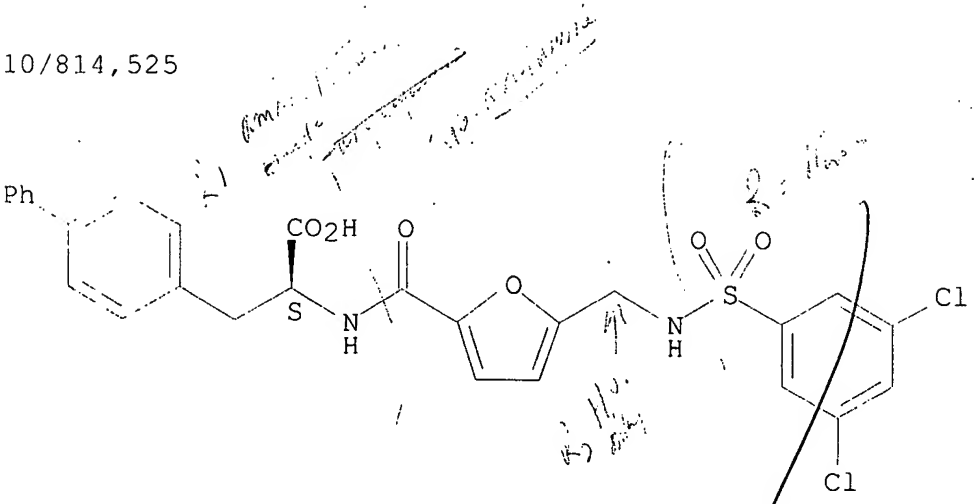


AB P-aminomethylbenzoyl amino acids R1-L-NR2CHR4-Ar-CONR3CR5R6-X-Z [Ar = (un)substituted 1,4-phenylene or -heteroarylene; L = CO, OCO, NHCO or substituted iminocarbonyl, SO2, P(O)OH or esters, COCO; X = a bond, CH2 or substituted methylene; Z = CO2H or esters or amides, PO3H2, PH(O)OH, S(O)mOH or their esters (m = 0-2), 5-tetrazolyl; R1 = (un)substituted alkyl, alkenyl, alkynyl, Cy (Cy = cycloalkyl, heterocyclyl, aryl, heteroaryl), Cy-alkyl, -alkenyl, or -alkynyl; R2 = H, (un)substituted alkyl, Cy, Cy-alkyl; R3 = H, (un)substituted alkyl or Cy; R4 = H or R1; or R4 is joined to Ar at the ortho position; R5, R6 = H, alkyl, alkenyl, alkynyl, Cp, etc.] were prepared as antagonists of VLA-4 and/or α4β7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[4-[[(3,5-dichlorobenzenesulfonyl)amino]methyl]benzoyl]-L-4-fluorophenylalanine was prepared by coupling of N-Fmoc-4-aminomethylbenzoic acid (Fmoc = fluorenylmethoxycarbonyl) with L-4-fluorophenylalanine tert-Bu ester, followed by deprotection, sulfonylation with 3,5-dichlorophenylsulfonyl chloride, and ester cleavage.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:356700 CAPLUS
DOCUMENT NUMBER: 122:133849
TITLE: Preparation of peptides cyclocondensed to heterocyclic rings useful as antagonists of platelet glycoprotein IIb/IIIa
INVENTOR(S): Wells, Gregory James; Wityak, John; Parthasarathy, Anju; DeGrado, William Frank; Jackson, Sharon Anne;

	Mousa, Shaker Ahmed
PATENT ASSIGNEE(S):	Du Pont Merck Pharmaceutical Co., USA
SOURCE:	PCT Int. Appl., 179 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

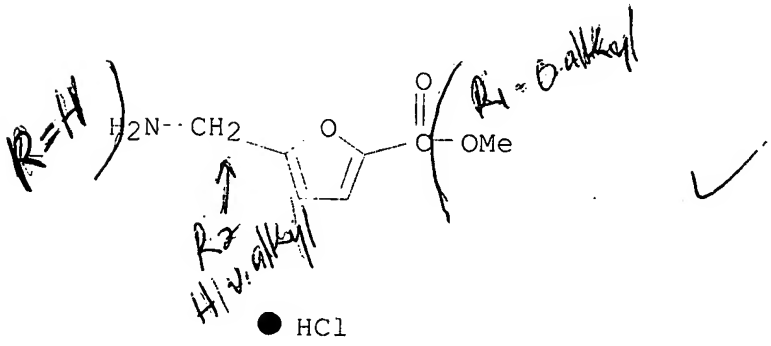
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9411398	A1	19940526	WO 1993-US10710	19931112
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2148945	A1	19940526	CA 1993-2148945	19931112
AU 9455942	A	19940608	AU 1994-55942	19931112
EP 672059	A1	19950920	EP 1994-901303	19931112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08503217	T	19960409	JP 1993-512243	19931112
US 5773411	A	19980630	US 1994-338977	19941114
US 5849693	A	19981215	US 1997-820424	19970312
PRIORITY APPLN. INFO.:			US 1992-978475 A	19921118
			WO 1993-US10710 W	19931112
			US 1994-338977 A1	19941114

OTHER SOURCE(S): MARPAT 122:133849

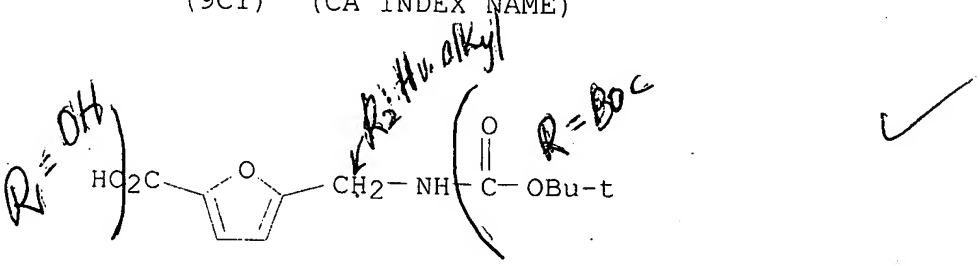
IT 160938-84-1P, Methyl 5-aminomethyl-2-furoate hydrochloride
160938-85-2P 160938-87-4P 160938-88-5P
160938-89-6P 160938-91-0P 160938-93-2P
160938-94-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for cyclopeptide derivative antithrombotic)

RN 160938-84-1 CAPLUS

CN 2-Furancarboxylic acid, 5-(aminomethyl)-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



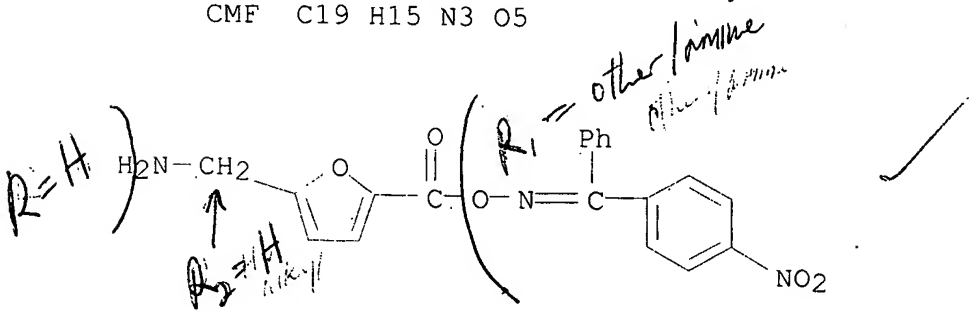
RN 160938-85-2 CAPLUS
CN 2-Furancarboxylic acid,
5-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-
(9CI) (CA INDEX NAME)



RN 160938-87-4 CAPLUS
CN Methanone, (4-nitrophenyl)phenyl-, O-[[5-(aminomethyl)-2-furanyl]carbonyl]oxime, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

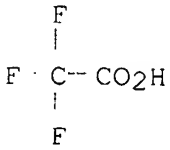
CM 1

CRN 160938-86-3
CMF C19 H15 N3 O5

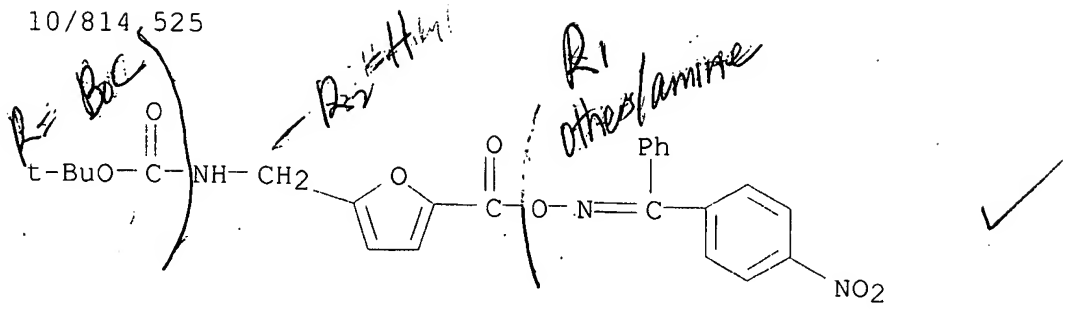


CM 2

CRN 76-05-1
CMF C2 H F3 O2

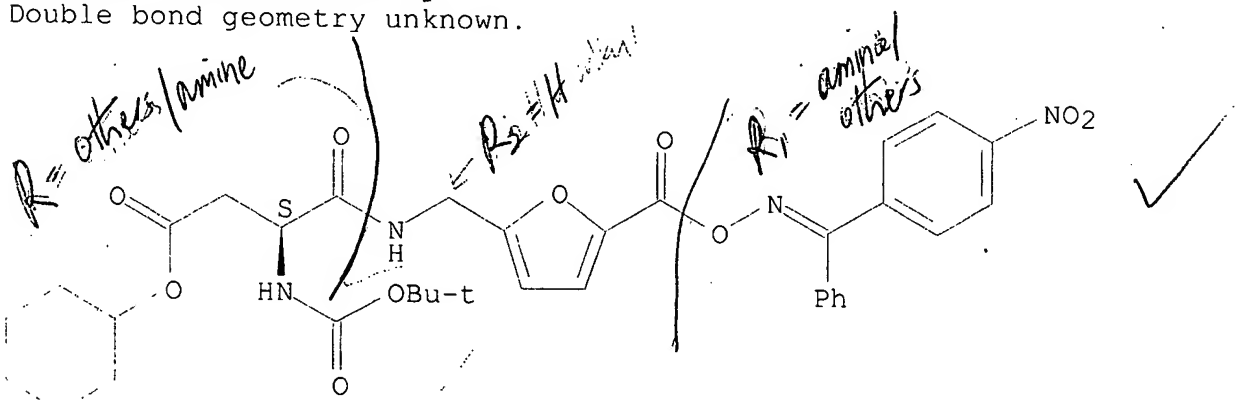


RN 160938-88-5 CAPLUS
CN Carbamic acid,
[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 160938-89-6 CAPLUS
CN Butanoic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]amino]-4-oxo-, cyclohexyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

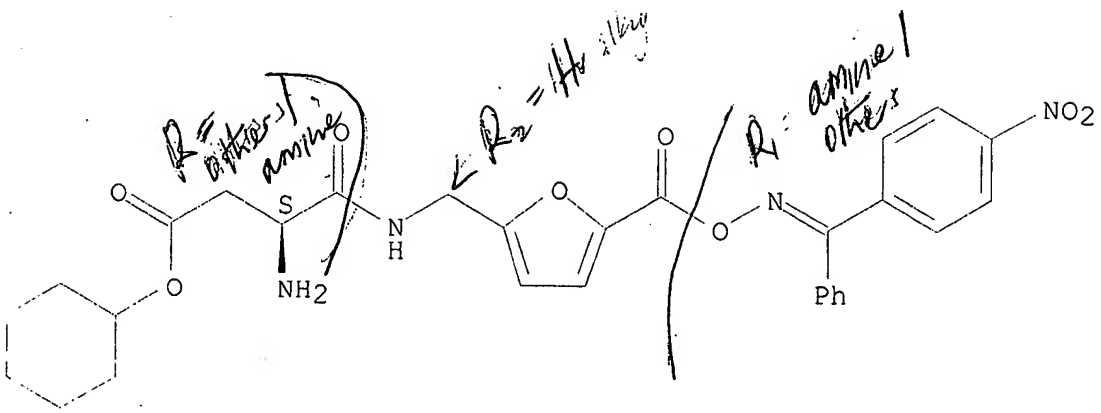


RN 160938-91-0 CAPLUS
CN Butanoic acid,
3-amino-4-[[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]amino]-4-oxo-, cyclohexyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

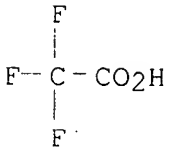
CRN 160938-90-9
CMF C29 H30 N4 O8

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

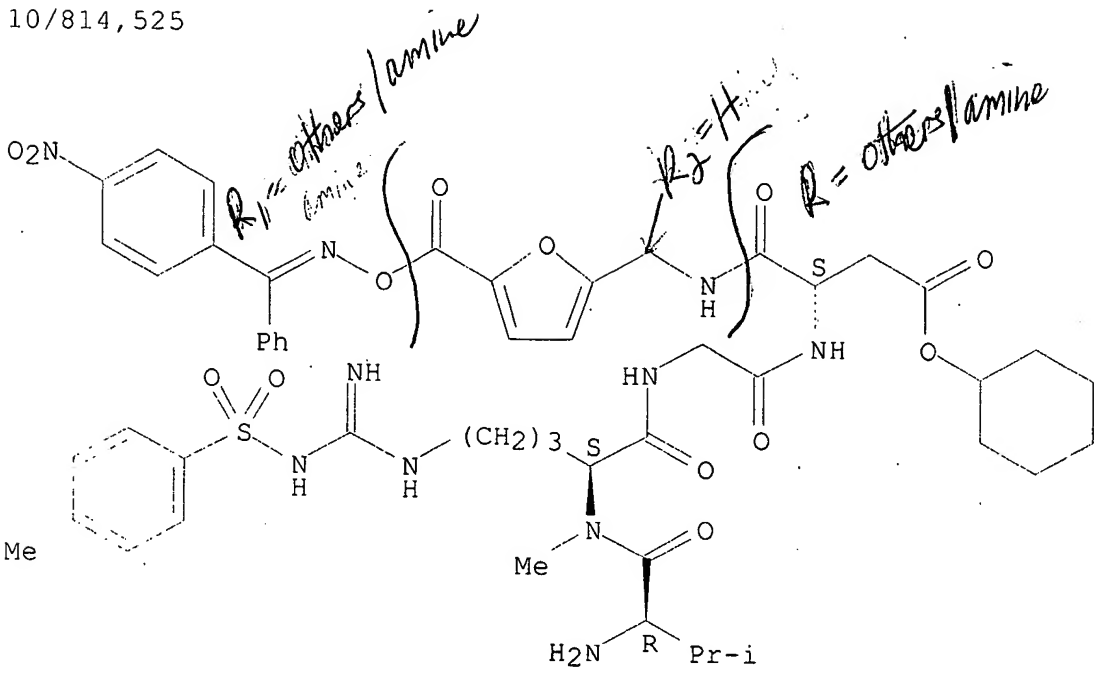


RN 160938-93-2 CAPLUS
CN L-α-Asparagine, N2-[N-[N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-methyl-N2-D-valyl-L-ornithyl]glycyl]-N-[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, cyclohexyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

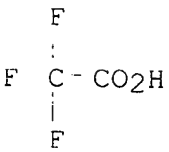
CRN 160938-92-1
CMF C50 H62 N10 O13 S

Absolute stereochemistry.
Double bond geometry unknown.



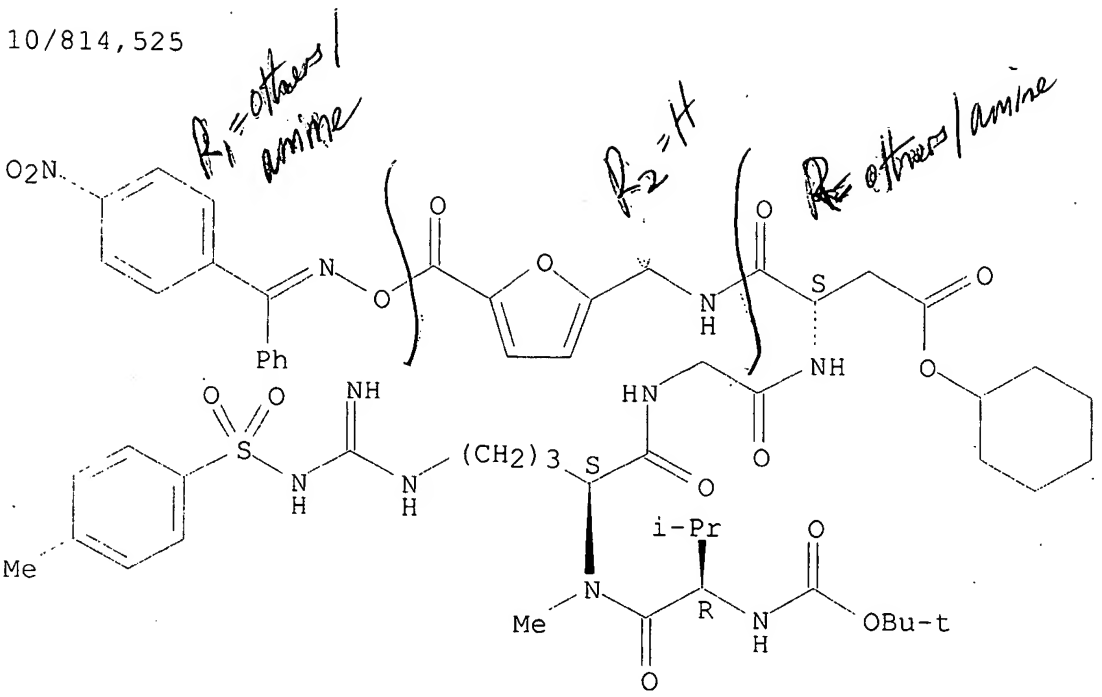
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 160938-94-3 CAPLUS
CN L-α-Asparagine, N2-[N-[N2-[N-[(1,1-dimethylethoxy)carbonyl]-D-valyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-N2-methyl-L-ornithyl]glycyl]-N-[[5-[[[[(4-nitrophenyl)phenylmethylene]amino]oxy]carbonyl]-2-furanyl]methyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R31 = 5-14 membered (unsatd.) (aromatic) heterocyclic ring
and N-oxide forms thereof; n, m = 0-3; R1, R22 = H, (substituted) alkyl,
alkenyl, alkynyl, cycloalkyl, bicycloalkyl, aryl, heterocyclyl; R1R2, R1R21, R22R23 = atoms to form (substituted) carbocyclic ring; R2 = H, alkyl; R21, R23 = H, (halo)alkyl, alkoxy, PhCH2; J, K, M = amino acid residues; L = Y(CH2)vCO; Y = NH, alkylimino, O, S; v = 1, 2], were prepared Thus, title compound II was prepared as the trifluoroacetate salt
via cyclocondensation of aminothiazoleacetate derivative III (preparation given)
with BOC-D-Val-NMeArg(Tos)-Gly-OH. Title compds. inhibited platelet aggregation with IC50's of <1 μM.

L5 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:645218 CAPLUS
DOCUMENT NUMBER: 117:245218
TITLE: Effect of amidinonaphthol derivatives on the ligand binding site of the platelet integrin receptor GPIIb-IIIa. Chemical cross-linking approach
AUTHOR(S): Hodohara, Keiko; Fujiyama, Yoshihide; Inoue, Tetsuya;
Kitoh, Katsuyuki; Hirotani, Shuichi; Niwakawa, Mitsuyuki; Andoh, Akira; Bamba, Tadao; Hosoda, Shiro;